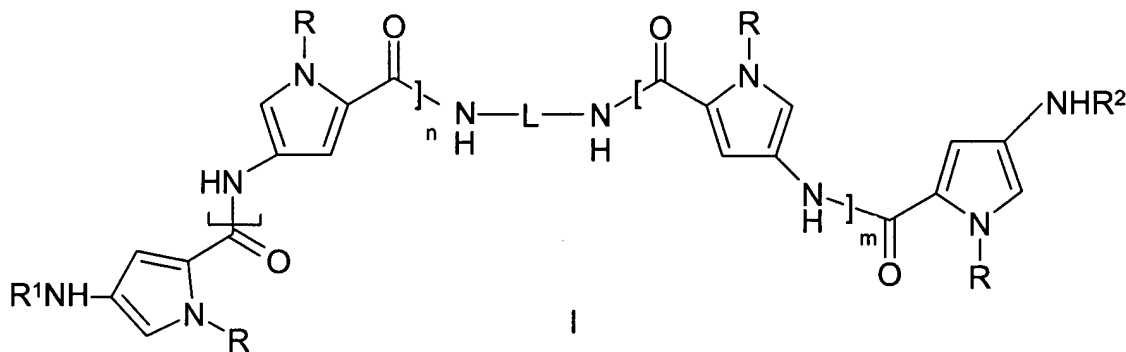


CONFORMED COPY OF THE PENDING CLAIMS

1. A compound of Formula (I):



wherein:

each R is independently alkyl or cycloalkyl;

R¹ and R² are, independently of each other:

(i) hydrogen;

(ii) alkyl; or

(iii) -COR³ wherein R³ is selected from the group consisting of alkyl, amino,

monosubstituted amino, disubstituted amino, or alkyl substituted with one, two or three substituents selected from the group consisting of amino, monosubstituted amino, disubstituted amino, guanidino, amidino, aminoacyl, -NHCOR^a (wherein R^a is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), -NHCONHR^a (wherein R^a is as defined above), aryl, substituted aryl, heteroaryl, substituted heteroaryl, carboxy, alkoxycarbonyl, and -OR^b (where R^b is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), provided that at least one of R¹ and R² is a group that can form a pharmaceutically acceptable acid addition salt;

n and m are independently an integer from 0 to 4; and

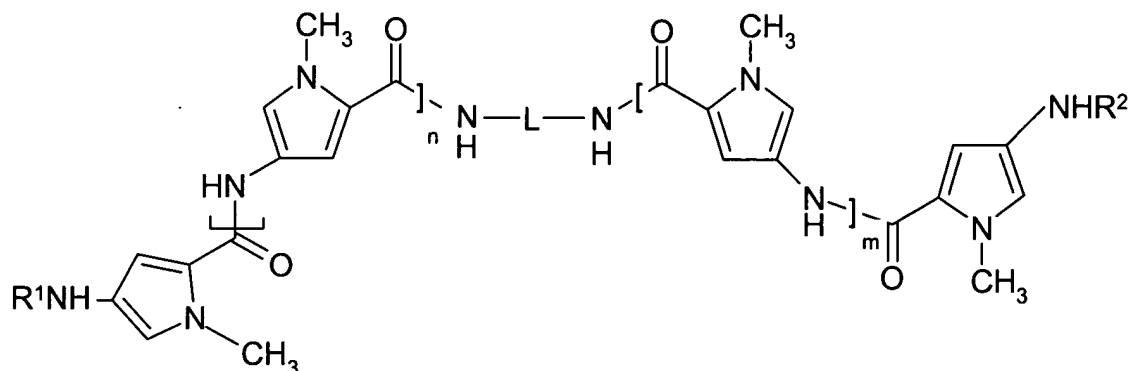
L is:

- (i) alkylene or cycloalkylene;
- (ii) alkylene substituted with one, two or three substituent(s) selected from the group consisting of aryl, $-\text{CONHR}^4$ (wherein R^4 is hydrogen, alkyl, substituted alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl, heterocyclic, substituted heterocyclic, heterocyclicalkyl, heteroarylthioalkyl, or $-(\text{CHR}^5)_{n1}-\text{CO}-(\text{NH}-\text{Ar}^3-\text{CO})_m-\text{NH}-\text{Ar}^4-\text{CO}-\text{NHR}^3$ where $n1$ is 1 to 3, R^5 is hydrogen or alkyl, substituted alkyl, and Ar^3 , m , Ar^4 , and R^3 are as defined above), $-\text{CONHNHR}^6$ [wherein R^6 is alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, $-\text{COR}^7$, $-\text{COOR}^8$ (wherein R^7 and R^8 are independently of each other alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, or heteroaralkyl), heteroaryl, or heteroaralkyl], $-\text{NHR}^9$ (wherein R^9 is hydrogen, alkyl, substituted alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, aminoalkylcarbonyl, or heterocycliccarbonyl), and guanidino; or
- (iii) $-(\text{alkylene})_x-\text{Z}-(\text{alkylene})_y-(\text{Z}^a)_z$ wherein x , y and z are independently 0, 1, or 2 and Z and Z^a are, independently of each other, phenylene, cycloalkylene optionally fused to one or two phenylene ring(s), heterocyclene, $-\text{O}-$, $-\text{S}-$, $-\text{NR}^{10}-$ [wherein R^{10} is hydrogen, alkyl, substituted alkyl, cycloalkylcarbonyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, $-\text{CONHR}^4$, $-\text{COR}^7$, $-\text{COOR}^8$ (where R^4 , R^7 and R^8 are as defined above), $-\text{SO}_2\text{R}^{11}$ (where R^{11} is alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl) or $-(\text{CHR}^5)_{n2}-\text{NH}-(\text{CO}-\text{Ar}^3-\text{NH})_m-\text{CO}-\text{Ar}^4-\text{NHR}^2$ where $n2$ is 2 to 4, R^5 is hydrogen, alkyl, or substituted alkyl, and Ar^3 , m , Ar^4 , and R^2 are as defined above], $-\text{CO}-\text{NH}-$, or $-\text{NH}-\text{CO}-$, provided that

when Z and/or Z^a is $-\text{NR}^{10}-$ then it is separated from another nitrogen atom by at least two carbon atoms;

or a pharmaceutically acceptable salt thereof.

3. A compound of the formula:



R^1 and R^2 are, independently of each other:

(i) hydrogen;

(ii) alkyl; or

(iii) $-COR^3$ wherein R^3 is selected from the group consisting of alkyl, amino,

monosubstituted amino, disubstituted amino, or alkyl substituted with one, two or three substituents selected from the group consisting of amino, monosubstituted amino, disubstituted amino, guanidino, amidino, aminoacyl, $-NHCOR^a$ (wherein R^a is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), $-NHCONHR^a$ (wherein R^a is as defined above), aryl, substituted aryl, heteroaryl, substituted heteroaryl, carboxy, alkoxy carbonyl, and $-OR^b$ (where R^b is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), provided that at least one of R^1 and R^2 is a group that can form a pharmaceutically acceptable acid addition salt;

n and m are independently an integer from 0 to 4; and

L is:

(i) alkylene or cycloalkylene;

(ii) alkylene substituted with one, two or three substituent(s) selected from the group consisting of aryl, $-CONHR^4$ (wherein R^4 is hydrogen, alkyl, substituted alkyl, hydroxyalkyl,

- alkoxyalkyl, aminoalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl, heterocyclic, substituted heterocyclic, heterocyclicalkyl, heteroarylthioalkyl, or
- $-(\text{CHR}^5)_{n1}-\text{CO}-(\text{NH}-\text{Ar}^3-\text{CO})_m-\text{NH}-\text{Ar}^4-\text{CO}-\text{NHR}^3$ where $n1$ is 1 to 3, R^5 is hydrogen or alkyl, substituted alkyl, and Ar^3 , m , Ar^4 , and R^3 are as defined above), $-\text{CONHNHR}^6$ [wherein R^6 is alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, $-\text{COR}^7$, $-\text{COOR}^8$ (wherein R^7 and R^8 are independently of each other alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, or heteroaralkyl), heteroaryl, or heteroaralkyl], $-\text{NHR}^9$ (wherein R^9 is hydrogen, alkyl, substituted alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, aminoalkylcarbonyl, or heterocycliccarbonyl), and guanidino; or
- (iii) $-(\text{alkylene})_x-\text{Z}-(\text{alkylene})_y-(\text{Z}^a)_z$ wherein x , y and z are independently 0, 1, or 2 and Z and Z^a are, independently of each other, phenylene, cycloalkylene optionally fused to one or two phenylene ring(s), heterocyclene, $-\text{O}-$, $-\text{S}-$, $-\text{NR}^{10}-$ [wherein R^{10} is hydrogen, alkyl, substituted alkyl, cycloalkylcarbonyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, $-\text{CONHR}^4$, $-\text{COR}^7$, $-\text{COOR}^8$ (where R^4 , R^7 and R^8 are as defined above), $-\text{SO}_2\text{R}^{11}$ (where R^{11} is alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl) or $-(\text{CHR}^5)_{n2}-\text{NH}-(\text{CO}-\text{Ar}^3-\text{NH})_m-\text{CO}-\text{Ar}^4-\text{NHR}^2$ where $n2$ is 2 to 4, R^5 is hydrogen, alkyl, or substituted alkyl, and Ar^3 , m , Ar^4 , and R^2 are as defined above], $-\text{CO}-\text{NH}-$, or $-\text{NH}-\text{CO}-$, provided that
- when Z and/or Z^a is $-\text{NR}^{10}-$ then it is separated from another nitrogen atom by at least two carbon atoms;
- or a pharmaceutically acceptable salt thereof.

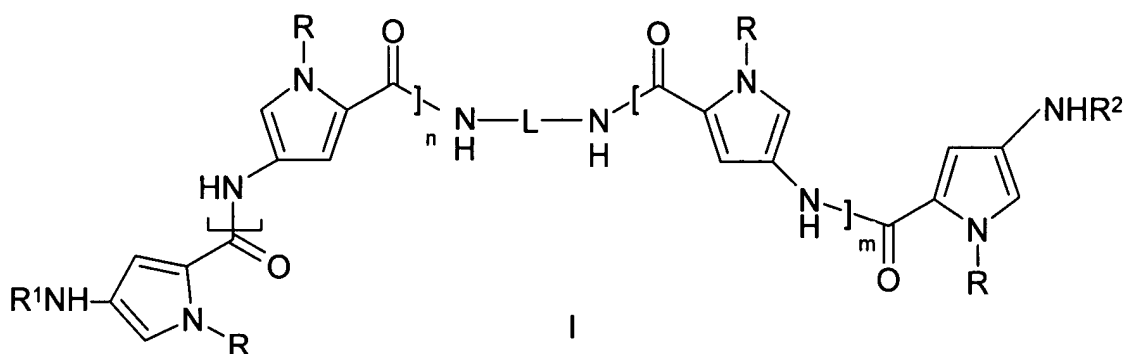
4. The compound of Claim 1 wherein n and m are 0 or 1.

6. The compound of Claim 1 wherein R^1 and R^2 are independently $-\text{COR}^3$.

7. The compound of Claim 6 wherein R^1 and R^2 are independently aminomethylcarbonyl, 1-amino-4-guanidinobutylcarbonyl, 1,4-diaminobutylcarbonyl, 1,5-

diaminopentyl-carbonyl, 1-amino-5-(3,4difluorophenylureido)pentylcarbonyl, 1-(3,4-difluorophenylureido)-4-guanidinobutylcarbonyl, 1-[4-(N,N-(2-chloroethyl)-aminophenylbutanoyl)]amino-4-guanidinobutylcarbonyl, or 1-amino-5-[4-(N,N-(2-chloroethyl)-aminophenylbutanoyl)]aminopentylcarbonyl.

8. A compound of the Formula (I):



wherein:

each R is independently alkyl or cycloalkyl;

R^1 and R^2 are, independently of each other:

- (i) hydrogen;
- (ii) alkyl; or
- (iii) $-\text{COR}^3$ wh

monosubstituted amino, disubstituted amino, or alkyl substituted with one, two or three substituents selected from the group consisting of amino, monosubstituted amino, disubstituted amino, guanidino, amidino, aminoacyl, -NHCOR^a (wherein R^a is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), -NHCONHR^a (wherein R^a is as defined above), aryl, substituted aryl, heteroaryl, substituted heteroaryl, carboxy, alkoxycarbonyl, and -OR^b (where R^b is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl,

cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), provided that at least one of R¹ and R² is a group that can form a pharmaceutically acceptable acid addition salt;

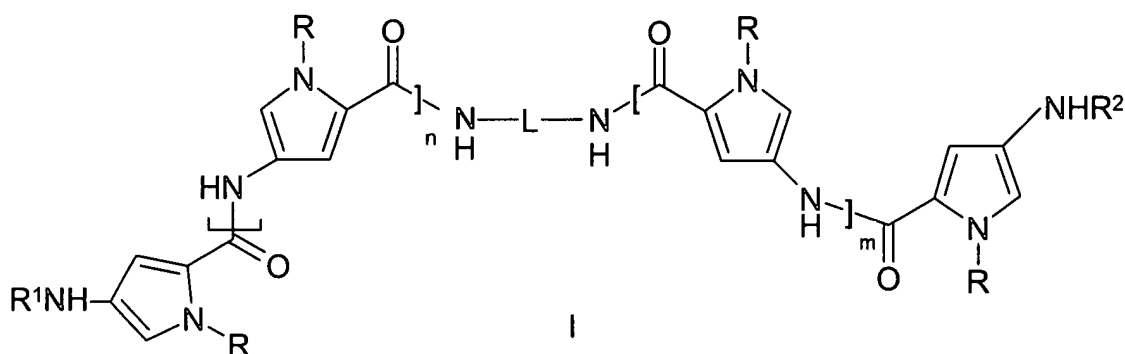
n and m are independently an integer from 0 to 4; and

L is alkylene;

or a pharmaceutically acceptable salt thereof.

9. The compound of Claim 8 wherein L is 1,2-ethylene, 1,3-propylene, 1,4-butylene, 1,6-hexylene, 1,8-octylene, 1,12-dodecylene, 1-methylethylene, or 1,2-hexadecylene.

10. A compound of the Formula (I):



wherein:

each R is independently alkyl or cycloalkyl;

R^1 and R^2 are, independently of each other:

- (i) hydrogen;

- (ii) alkyl; or

- (iii) $-\text{COR}^3$ wherein R^3 is selected from the group consisting of alkyl, amino,

monosubstituted amino, disubstituted amino, or alkyl substituted with one, two or three substituents

selected from the group consisting of amino, monosubstituted amino, disubstituted amino,

guanidino, amidino, aminoacyl, -NHCOR^a (wherein R^a is hydrogen, alkyl, substituted alkyl, aryl,

substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), -NHCONHR^a (wherein R^a is as defined above), aryl, substituted aryl, heteroaryl, substituted heteroaryl, carboxy, alkoxycarbonyl, and -OR^b (where R^b is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), provided that at least one of R¹ and R² is a group that can form a pharmaceutically acceptable acid addition salt;

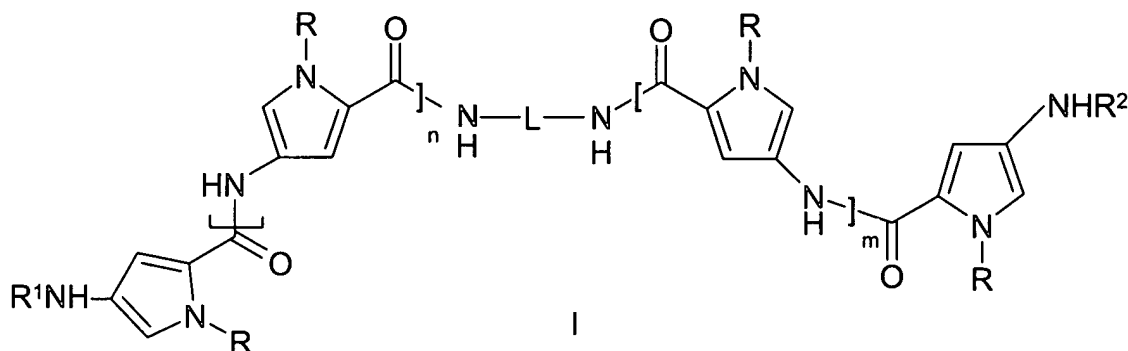
n and m are independently an integer from 0 to 4; and

L is alkylene substituted with one, two or three substituent(s) selected from the group consisting of aryl, -CONHR⁴ (wherein R⁴ is hydrogen, alkyl, substituted alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl, heterocyclic, substituted heterocyclic, heterocyclicalkyl, heteroarylthioalkyl, or -(CHR⁵)_{n1}-CO-(NH-Ar³-CO)_m-NH-Ar⁴-CO-NHR³ where n1 is 1 to 3, R⁵ is hydrogen or alkyl, substituted alkyl, and Ar³, m, Ar⁴, and R³ are as defined above), -CONHNHR⁶ [wherein R⁶ is alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, -COR⁷, -COOR⁸ (wherein R⁷ and R⁸ are independently of each other alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, or heteroaralkyl), heteroaryl, or heteroaralkyl], -NHR⁹ (wherein R⁹ is hydrogen, alkyl, substituted alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, aminoalkylcarbonyl, or heterocycliccarbonyl), and guanidino; or a pharmaceutically acceptable salt thereof.

11. The compound of Claim 10 wherein L is meso-1,2-diphenylethylene, 1-(p-nitrophenylaminocarbonyl)-1,5-pentylene, 1-(naph-2-ylaminocarbonyl)-1,5-pentylene, 1-(pentafluorophenylhydrazidocarbonyl)-1,5-pentylene, 1-(5-trifluoro-pyrimidin-2-ylhydrazidocarbonyl)-1,5-pentylene, 1-(2-pyrene-lylethylamino-carbonyl)-1,5-pentylene, 1-[2-(6-nitrobenzimidazol-1-ylethylaminocarbonyl)]-1,5-pentylene, 1-[2-(indol-3-yl)-ethylaminocarbonyl]-1,5-pentylene, 1-[2-(5-fluoroindol-3-yl)ethylaminocarbonyl]-1,5-pentylene, 1-[2-(4-nitrophenyl)ethylaminocarbonyl]-1,5-pentylene, 1-(benzyloxycarbonyl-hydrazidocarbonyl)-1,2-

ethylene, 1-(naph-1-ylaminocarbonyl)-1,5-pentylene, 1-(4-pyrene-1-ylbutylaminocarbonyl)-1,5-pentylene, 1-(2-(2-trifluoromethylquinolin-4-yl)thio-ethylaminocarbonyl)-1,5-pentylene, 1-(pentafluorophenylhydrazidocarbonyl)-1,4-butylene, 1-(4-pyrene-1-ylmethylaminocarbonyl)-1,5-pentylene, 1-(2-hydroxyethylaminocarbonyl)-1,5-pentylene, 1-(2-aminoethylaminocarbonyl)-1,5-pentylene, 1-(3-dimethylaminopropyl-aminocarbonyl)-1,5-pentylene, 1-(bis-(2-aminoethyl)aminoethylaminocarbonyl)-1,5-pentylene, 1-(N-(2-aminoethyl)aminoethylaminocarbonyl)-1,5-pentylene, 2-(aminomethylcarbonyl-amino)-1,3-propylene, or 2-(3-hydroxypyrrolidin-5-ylcarbonyl-amino)-1,3-propylene.

12. A compound of the Formula (I):



wherein:

each R is independently alkyl or cycloalkyl;

R¹ and R² are, independently of each other:

(i) hydrogen;

(ii) alkyl; or

(iii) -COR³ wherein R³ is selected from the group consisting of alkyl, amino,

monosubstituted amino, disubstituted amino, or alkyl substituted with one, two or three substituents selected from the group consisting of amino, monosubstituted amino, disubstituted amino, guanidino, amidino, aminoacyl, -NHCOR^a (wherein R^a is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl,

substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), -NHCONHR^a (wherein R^a is as defined above), aryl, substituted aryl, heteroaryl, substituted heteroaryl, carboxy, alkoxycarbonyl, and -OR^b (where R^b is hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, cycloalkyl, substituted cycloalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl), provided that at least one of R¹ and R² is a group that can form a pharmaceutically acceptable acid addition salt;

n and m are independently an integer from 0 to 4; and

L is -(alkylene)_x-Z-(alkylene)_y-(Z^a)_z- wherein x, y and z are independently 0, 1, or 2 and Z and Z^a are, independently of each other, phenylene, cycloalkylene optionally fused to one or two phenylene ring(s), heterocyclene, -O-, -S-, -NR¹⁰- [wherein R¹⁰ is hydrogen, alkyl, substituted alkyl, cycloalkylcarbonyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, -CONHR⁴, -COR⁷, -COOR⁸ (where R⁴, R⁷ and R⁸ are as defined above), -SO₂R¹¹ (where R¹¹ is alkyl, substituted alkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, or substituted heteroaralkyl) or -(CHR⁵)_{n2}-NH-(CO-Ar³-NH)_m-CO-Ar⁴-NHR² where n2 is 2 to 4, R⁵ is hydrogen, alkyl, or substituted alkyl, and Ar³, m, Ar⁴, and R² are as defined above], -CO-NH-, or -NH-CO-, provided that when Z and/or Z^a is -NR¹⁰- then it is separated from another nitrogen atom by at least two carbon atoms;

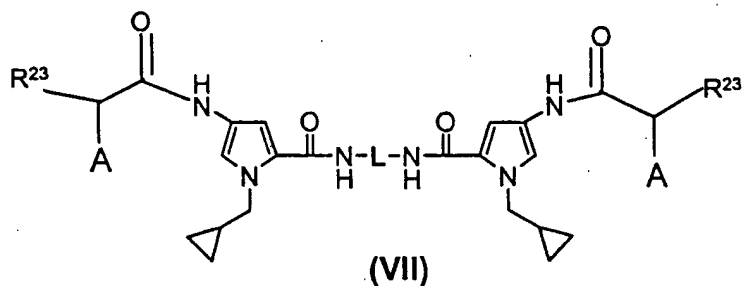
or a pharmaceutically acceptable salt thereof.

13. The compound of Claim 12 wherein L is m-xylene, p-xylene, 2,7-fluorendiyl, *bis*-(3-N-benzyloxycarbonylamino)propylene [-(CH₂)₃-N(BzOCO)-(CH₂)₃-], *bis*-(2-naphth-2-ylsulfonylamino)ethylene [-(CH₂)₂-N(-SO₂naphth-2-yl)-(CH₂)₂-], *bis*-(2-N-3,5-dinitrophenylcarbonylamino)ethylene [-(CH₂)₂-N(-CO-3,5-dinitrophenyl)-(CH₂)₂-], 1,3-cyclohexyl-bis-methylene [-(CH₂)-(1,3-C₆H₁₀)-(CH₂)-], 1,4-cyclohexyl-bis-methylene [-(CH₂)-(1,4-C₆H₁₀)-(CH₂)-], 4,4'-methylene-bis-1,4-cyclohexylene [-(1,4-C₆H₁₀)-(CH₂)-(1,4-C₆H₁₀)-(CH₂)-], 1,2-cyclohexylene (1,2-C₆H₁₀-), *bis*-(2-adamantyl-ylcarbonylamino)ethylene, *bis*-(3-N-methylamino)propylene [-(CH₂)₃-N(-CH₃)-(CH₂)₃-], *bis*-(3-amino)propylene [-(CH₂)₃-NH-(CH₂)₃-], 1,4-piperazino- *bis*-propylene [-(CH₂)₃-(1,4-piperazino)-(CH₂)₃-], *bis*-(2-(2-

aminoethyl)amino)ethylene $[-(\text{CH}_2)_2\text{-N}(-(\text{CH}_2)_2\text{NH}_2)-(\text{CH}_2)_2-]$, and *bis*-(2-amino)ethylene $[-(\text{CH}_2)_2\text{-NH}-(\text{CH}_2)_2-]$.

14. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claims 1, 3-4 and 6-13 and a pharmaceutically suitable carrier.

20. A compound of claim 1 which compound is represented by formula (VII)



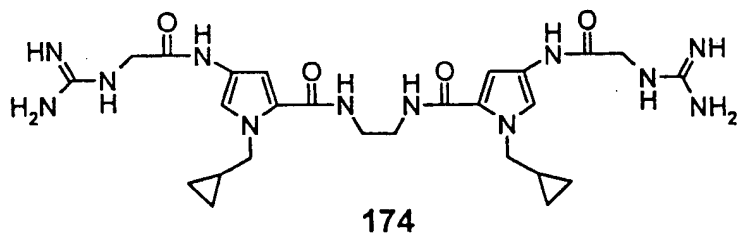
wherein

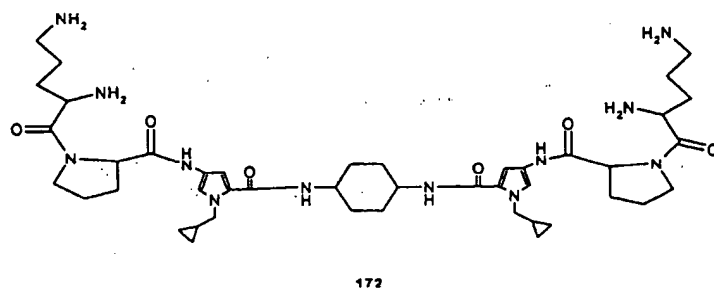
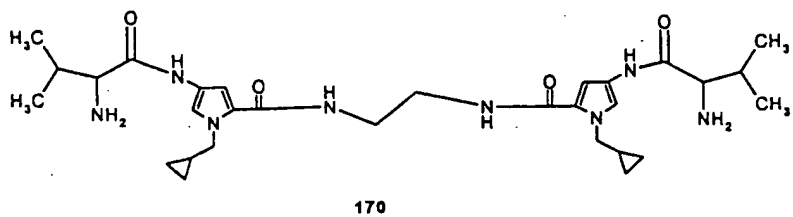
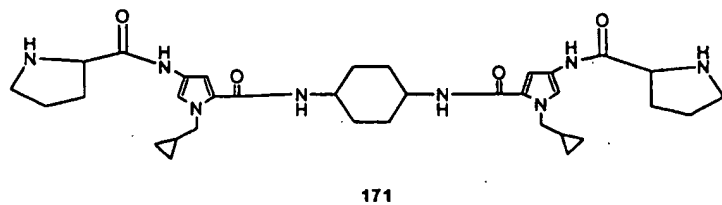
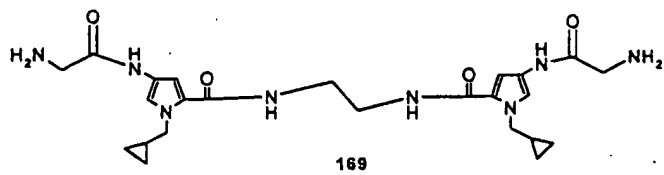
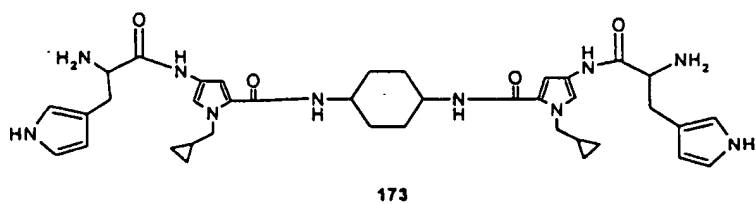
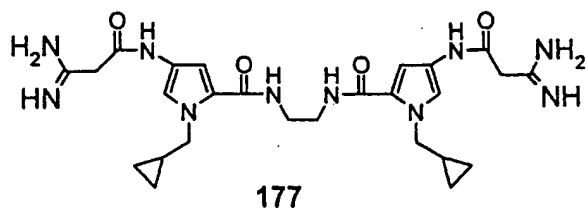
L is selected from the group consisting of alkylene and cycloalkylene;

A is an amino acid side chain; and

R^{23} is selected from the group consisting of guanidino, amino, and ornithylamino.

21. A compound of claim 20 selected from the group consisting of





and pharmaceutically acceptable salts thereof.